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(FILE 'HOME' ENTERED AT 14:22:12 ON 24 MAY 2004)

FILE 'REGISTRY' ENTERED AT 14:22:20 ON 24 MAY 2004

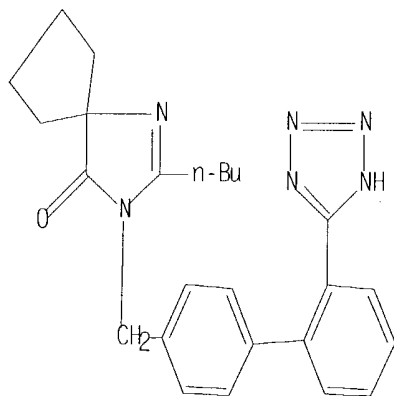
L1 STRUCTURE UPLOADED  
L2 2 S L1  
L3 21 S L1 FULL

FILE 'CAPLUS' ENTERED AT 14:22:48 ON 24 MAY 2004

L4 494 S L3  
L5 17 S L4 AND CRYST?

=> d que 15 stat

L1 STR



Structure attributes must be viewed using STN Express query preparation.

L3 21 SEA FILE=REGISTRY SSS FUL L1  
L4 494 SEA FILE=CAPLUS ABB=ON PLU=ON L3  
L5 17 SEA FILE=CAPLUS ABB=ON PLU=ON L4 AND CRYST?

=> d 1-17 bib abs hitstr

L5 ANSWER 1 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN  
AN 2004:60341 CAPLUS  
DN 140:117406

TI Liquid dosage compositions of stable nanoparticulate drugs  
IN Bosch, William H.; Hilborn, Matthew R.; Hovey, Douglas C.; Kline, Laura J.; Lee, Robert W.; Pruitt, John D.; Ryde, Niels P.; Ryde, Tuula A.; Xu, Shuguan

PA Elan Pharma International, Ltd. Ire.

SO PCT Int. Appl., 68 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004006959	A1	20040122	WO 2003-US22187	20030716
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

PRAI US 2002-396530P P 20020716

AB The present invention relates to liquid dosage comps. of stable nanoparticulate drugs. The liquid dosage comps. of the invention include osmotically active crystal growth inhibitors that stabilize the nanoparticulate active agents against crystal and particle size growth of the drug. Thus, an aqueous nanoparticulate colloidal dispersion (NCD) comprising drug 32.5 Copovidone 6.5, and dioctyl sodium sulfosuccinate 0.464% by weight was prepared by milling for 3.8 h under high energy milling conditions. The final mean particle size (by weight) of the drug particles was 161 nm. The concentrated NCD was then diluted with preserved water and glycerol (the osmotically active crystal growth inhibitor) to 0.5-3.0% drug.

IT 138402-11-6, Irbesartan

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (liquid dosage comps. of stable nanoparticulate drugs)

RN 138402-11-6 CAPLUS

CN 1,3-Diazaspiro[4.4]non-1-en-4-one, 2-butyl-3-[[2'-(1H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]methyl]- (9CI) (CA INDEX NAME)

L5 ANSWER 2 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2003:909266 CAPLUS

DN 140:64881

TI Phase Transitions in Supersaturated Drug Solution

AU Veessler, Stephane; Lafferrere, Laurent; Garcia, Eric; Hoff, Christian

CS Centre de Recherche sur les Mecanismes de la Croissance Cristalline, CRM2 - CNRS, Marseille, F-13288, Fr.

SO Organic Process Research & Development (2003), 7(6), 983-989

CODEN: OPRDFK; ISSN: 1083-6160

PB American Chemical Society

DT Journal

LA English

AB In this contribution we present two cases of phase transitions, in which the ability to control the reproducible formation of the desired phys. form requires a control of crystallization parameters and a deep understanding of the phase diagram.

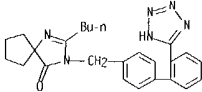
IT 138402-11-6, Irbesartan

RL: PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(phase transitions in supersatd. drug solution)

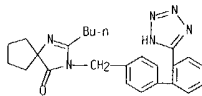
RN 138402-11-6 CAPLUS

CN 1,3-Diazaspiro[4.4]non-1-en-4-one, 2-butyl-3-[[2'-(1H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]methyl]- (9CI) (CA INDEX NAME)



RE CNT 37 THERE ARE 37 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 1 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RE CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 3 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2003:472508 CAPLUS

DN 139:41774

TI Amorphous form of irbesartan

IN Reddy, Reguri Buchi; Sudhakar, Sunkari

PA Reddy's Laboratories Ltd., India; Cord, Janet I

SO PCT Int. Appl., 23 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003050110	A1	20030619	WO 2002-US39215	20021206
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

PRAI IN 2001-MA992 A 20011210

AB The present invention relates to a novel amorphous form of irbesartan, a non-peptide angiotensin II antagonist, and to a process for its preparation. By inhibiting the action of angiotensin II on its receptors, this compound prevents the increase in blood pressure produced by the hormone-receptor interactions and is hence used in the treatment of cardiovascular disorders such as hypertension and heart failure. To a suspension of form A of irbesartan in CH<sub>2</sub>Cl<sub>2</sub> was added MeOH to give a solution. The solution was distilled off and the product was dried to give the amorphous form.

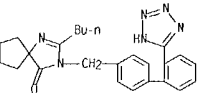
IT 138402-11-6, Irbesartan

RL: PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(amorphous form of irbesartan)

RN 138402-11-6 CAPLUS

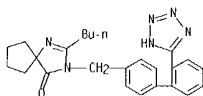
CN 1,3-Diazaspiro[4.4]non-1-en-4-one, 2-butyl-3-[[2'-(1H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]methyl]- (9CI) (CA INDEX NAME)



RE CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD

LS ANSWER 3 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)  
AN 2002:688782 CAPLUS  
DN 137:345608

LS ANSWER 4 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN  
AN 2002:688782 CAPLUS  
DN 137:345608  
TI Comparison of 3D Structures and AT1 Binding Properties of  
Pyrrolidine-3,5-diones and Tetrahydropyridazine-3,6-diones with Parent  
Antihypertensive Drug Irbesartan  
AU Le Bourdonnec, Bertrand; Cauvin, Christine; Meulon, Emmanuelle; Yous,  
Saïed; Goossens, Jean-Francois; Durant, Francois; Houssin, Raymond;  
Henichart, Jean-Pierre  
CS Institut de Chimie Pharmaceutique Albert Lespagnol and Laboratoire de  
Chimie Analytique, Faculté des Sciences Pharmaceutiques et Biologiques,  
Université de Lille 2, Lille, F-59006, Fr.  
SO Journal of Medicinal Chemistry (2002), 45(21), 4794-4798  
CODEN: JMCMAR; ISSN: 0022-2623  
PB American Chemical Society  
DT Journal  
LA English  
AB A new series of nonpeptide AT1 receptor antagonists were recently  
developed, based on the structure of irbesartan (Le Bourdonnec et al., J.  
Med. Chemical 2000, 43, 2685-2697). The lead compound displayed high  
selectivity for the AT1 receptor subtype but lower binding affinity than  
irbesartan. As expected from mol. modeling studies, extension of the  
pyrrolidine-3,5-dione scaffold to the six-membered heterocycle  
tetrahydropyridazine-3,6-dione led to an enhancement of the binding  
affinity toward the AT1 receptor.  
IT 138402-11-6, Irbesartan  
RL: PAC (Pharmacological activity); PRP (Properties); BIOL (Biological  
study)  
(comparison of structure-activity and AT1 binding properties of  
pyrrolidine-3,5-diones and tetrahydropyridazine-3,6-diones with parent  
antihypertensive drug irbesartan)  
RN 138402-11-6 CAPLUS  
CN 1,3-Diazaspiro[4.4]non-1-en-4-one, 2-butyl-3-[[2'-(1H-tetrazol-5-yl)[1,1'-  
biphenyl]-4-yl]methyl]- (9CI) (CA INDEX NAME)



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

LS ANSWER 5 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN  
AN 2002:570311 CAPLUS  
DN 137:114551  
TI Gingival hyperplasia-preventing agents containing angiotensin II  
antagonists  
IN Murakami, Hajime  
PA Takeda Chemical Industries, Ltd., Japan  
SO Jpn. Kokai Tokkyo Koho, 15 pp.  
CODEN: JKXXAF  
DT Patent  
LA Japanese  
FAN.CNT 1

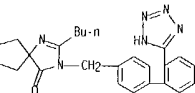
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2002212101	A2	20020731	JP 2001-11650	20010119
JP 2001-11650		20010119		

MARPAT 137:114551

AB The invention provides an agent for treatment or prevention of gingival  
hyperplasia comprising an angiotensin II antagonist, or its prodrug or  
salt. The inhibitory effect of candesartan on cultured gingival  
fibroblast proliferation was examined. Also, a capsule containing candesartan  
cilxetil 30, lactose 90, crystalline cellulose 70, magnesium  
stearate 10 mg was prepared.

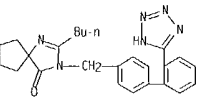
IT 138402-11-6, Irbesartan  
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL  
(Biological study); USES (Uses)  
(gingival hyperplasia-preventing agents containing angiotensin II  
antagonists)

RN 138402-11-6 CAPLUS  
CN 1,3-Diazaspiro[4.4]non-1-en-4-one, 2-butyl-3-[[2'-(1H-tetrazol-5-yl)[1,1'-  
biphenyl]-4-yl]methyl]- (9CI) (CA INDEX NAME)



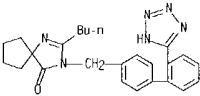
LS ANSWER 6 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN  
AN 2002:431821 CAPLUS  
DN 138:175664  
TI Molecular mobility study of amorphous and crystalline phases of  
a pharmaceutical product by thermally stimulated current spectroscopy  
AU Boutonnet-Fagegaltier, Nathalie; Menegotto, Jerome; Lamure, Alain; Duplaa,  
Helene; Caron, Antoine; Lacabanne, Colette; Bauer, Michel  
CS Laboratoire de Physique des Polymeres, CIRIMAT UMR 5085, Université Paul  
Sabatier, Toulouse, 31062, Fr.  
SO Journal of Pharmaceutical Sciences (2002), 91(6), 1548-1560  
CODEN: JPMSAE; ISSN: 0022-3549  
PB Wiley-Liss, Inc.  
DT Journal  
LA English  
AB Two crystalline forms and the amorphous state of irbesartan, a  
pharmaceutical drug chosen as a model, were analyzed by Thermally  
Stimulated Current (TSC) spectroscopy, a powerful technique currently used  
in polymer science to investigate the mol. dynamics of heterogeneous and  
complex materials. Whereas no specific dielec. response was noted for the  
B crystalline form, the A form of irbesartan exhibited mol. motions  
localized inside its channel structure. The dynamics involved in the  
dielec. glass transition of amorphous samples followed a compensation law  
characteristic of highly cooperative relaxation processes. Concerning the  
amorphous content in phys. mixts., a calibration curve and a limit of  
detection (2.5%) were established. The limit of detection could be  
improved by optimizing the TSC exptl. parameters. The amorphous sample  
recrystd. at a single temperature was interpreted by the "idealized one-state  
model" defined here to describe systems composed of identical semicryst.  
particles in which amorphous and crystalline phases are independent  
of each other (i.e., no chemical and phys. interaction between the two  
phases). Therefore, the idealized one-state model may be simulated by a  
two-state model, which is representative of the two-phase model. Other  
samples recrystd. through a complex annealing stage were explained by the  
classical one-state model in agreement with the three-phase model used to  
describe bulk semicryst. systems. These results demonstrate that, as for  
polymers, the semicryst. state of pharmaceutical drugs should not be  
considered as a single state but as a more complex system that can be  
described as an idealized one-state model or a one-state model depending  
on the applied thermal treatment. These results give a new view that  
should be taken into account in the development of amorphous  
pharmaceutical drugs and formulations.  
IT 138402-11-6, Irbesartan  
RL: PEP (Physical, engineering or chemical process); PRP (Properties); PYP  
(Physical process); THU (Therapeutic use); BIOL (Biological study); PROC  
(Process); USES (Uses)  
(mol. mobility study of amorphous and crystalline phases of a  
pharmaceutical product by thermally stimulated current (TSC)  
spectrometry)  
RN 138402-11-6 CAPLUS  
CN 1,3-Diazaspiro[4.4]non-1-en-4-one, 2-butyl-3-[[2'-(1H-tetrazol-5-yl)[1,1'-  
biphenyl]-4-yl]methyl]- (9CI) (CA INDEX NAME)

L5 ANSWER 6 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RE.CNT 42 THERE ARE 42 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 7 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN  
AN 2002:380970 CAPLUS  
DN 139:57740  
TI Dissolution and phase transition of pharmaceutical compounds  
AU Garcia, Eric; Hoff, Christian; Veesler, Stephane  
CS SANOFI-SYNTHELABO Recherche, Porcheville, F-78440, Fr.  
SO Journal of Crystal Growth (2002), 237-239(Pl. 3), 2233-2239  
CODEN: JCRGAE; ISSN: 0022-0248  
PB Elsevier Science B.V.  
DT Journal  
LA English  
AB This paper presents a laboratory study of a solution-mediated phase transition of irbesartan form A into form B. The following stages are observed and studied: dissoln. of form A until the apparent saturation is reached, form A dissoln. which strictly compensates for the form B nucleation and growth. form A is completely dissolved and **crystallization** of form B is only observed until the concentration reaches the solubility of form B. In the first stages of the transformation, the dissoln. of form A, we evidenced two distinct behaviors in function of undersatn.: (1) at higher undersatn., dissoln. is controlled by mass transfer and (2) at lower undersatn., dissoln. is controlled by surface process. The influence of the temperature is also shown. In the last part of this work we showed that from the knowledge of the **crystal** structure and exptl. morphol. additives can be selected. A surfactant, dodecyl amine chloride, has an accelerating effect on the dissoln. of form A and hinder the growth of form B. Adipic acid slows down the dissoln. rate of form A, but has no effect on the growth rate of form B.  
IT 138402-11-6. Irbesartan  
RL: PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(dissoln. and phase transition of pharmaceutical compds.)  
RN 138402-11-6 CAPLUS  
CN 1,3-Diazaspiro[4.4]non-1-en-4-one, 2-butyl-3-[[2'-(1H-tetrazol-5-yl)][1,1'-biphenyl]-4-yl]methyl]- (9CI) (CA INDEX NAME)



RE.CNT 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 8 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2001:798026 CAPLUS  
DN 135:348884  
TI Taste masking coating composition based on methacrylate polymer and cellulose ester  
IN Corbo, Michael; Desai, Jatin; Patel, Mahesh; Warrick, Ronald  
PA Bristol-Myers Squibb Company, USA  
SO PCT Int. Appl., 30 pp.  
CODEN: PIXX02  
DT Patent  
LA English  
FAN.CNT 2

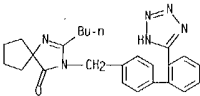
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001080826	A2	20011101	WO 2001-US12709	20010418
WO 2001080826	A3	20020321		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SO, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
EP 1276470	A2	20030122	EP 2001-930585	20010418
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			

PRAT US 2000-557924 A 20000420  
WO 2001-US12709 W 20010418

AB There is provided a coating composition that masks the undesirable taste of a pharmaceutically active ingredient, i.e. drug or medicine, that is taken orally. The coating composition has a dimethylaminoethyl methacrylate and neutral methacrylic acid ester, a cellulose ester polymer, and an alkaline modifier, e.g. triethanolamine. For example, acetaminophen in the **crystalline** form having a mesh size about 40 to 80, was coated with a coating composition of 60% cellulose acetate/28% Eudragit E 100/12% triethanolamine. The same coating composition was applied as a coating for granular caffeine that was also about 40 to 80 mesh size. The coating thickness was varied and the coated **crystals** and granules were formed into tablets.

IT 138402-11-6. Irbesartan  
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(taste masking coatings for oral compds. based on blend of methacrylate polymer and cellulose esters)  
RN 138402-11-6 CAPLUS  
CN 1,3-Diazaspiro[4.4]non-1-en-4-one, 2-butyl-3-[[2'-(1H-tetrazol-5-yl)][1,1'-biphenyl]-4-yl]methyl]- (9CI) (CA INDEX NAME)

L5 ANSWER 8 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



L5 ANSWER 9 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN  
AN 2001:617817 CAPLUS

DN 135:185476  
TI TNF- $\alpha$  inhibitors containing heterocyclic compounds having  
angiotensin II antagonisms

IN Ikeya, Kazuaki; Kitayoshi, Takahito  
PA Takeda Chemical Industries, Ltd., Japan

SO PCT Int. Appl., 46 pp.

COOEN: PIXXD2

DT Patent

LA Japanese

FAN CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2001060362	A1	20010823	WO 2001-JP1069	20010215
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 2001034088	A5	20010827	AU 2001-34088	20010215
EP 1262180	A1	20021204	EP 2001-906130	20010215
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2001302512	A2	20011031	JP 2001-39562	20010216
US 2003055039	A1	20030320	US 2002-203805	20020814
NO 2002003913	A	20020910	NO 2002-3913	20020816
PRAI JP 2000-46828	A	20000218		
WO 2001-JP1069	W	20010215		

OS MARPAT 135:185476

AB Disclosed are TNF- $\alpha$  inhibitors containing a heterocyclic compound having angiotensin II antagonism which are useful as preventives/remedies for inflammatory diseases, etc. A capsule containing candesartan cilexetil 30, lactose 90, fine crystalline cellulose 70, magnesium stearate 10 mg was formulated.

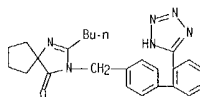
IT 138402-11-6, Irbesartan

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(TNF- $\alpha$  inhibitors containing heterocyclic compds. having angiotensin II antagonisms)

RN 138402-11-6 CAPLUS

CN 1,3-Diazaspiro[4.4]non-1-en-4-one, 2-butyl-3-[[2'-(1H-tetrazol-5-yl)][1,1'-biphenyl]-4-yl]methyl]- (9CI) (CA INDEX NAME)

L5 ANSWER 9 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RE CNT 97 THERE ARE 97 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 10 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2001:338762 CAPLUS

DN 134:362292

TI Methods of determining individual hypersensitivity to a pharmaceutical agent from gene expression profile

IN Farr, Spencer

PA Phase-1 Molecular Toxicology, USA

SO PCT Int. Appl., 222 pp.

COOEN: PIXXD2

DT Patent

LA English

FAN CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2001032928	A2	20010510	WO 2000-US30474	20001103
WO 2001032928	A3	20020725		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KP, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				

PRAI US 1999-165398P P 19991105

US 2000-196571P P 20000411

AB The invention discloses methods, gene databases, gene arrays, protein arrays, and devices that may be used to determine the hypersensitivity of individuals to a given agent, such as drug or other chemical, in order to prevent toxic side effects. In one embodiment, methods of identifying hypersensitivity in a subject by obtaining a gene expression profile of multiple genes associated with hypersensitivity of the subject suspected to be hypersensitive, and identifying in the gene expression profile of the subject a pattern of gene expression of the genes associated with hypersensitivity are disclosed. The gene expression profile of the subject may be compared with the gene expression profile of a normal individual and a hypersensitive individual. The gene expression profile of the subject that is obtained may comprise a profile of levels of mRNA or cDNA. The gene expression profile may be obtained by using an array of nucleic acid probes for the plurality of genes associated with hypersensitivity. The expression of the genes predetd. to be associated with hypersensitivity is directly related to prevention or repair of toxic damage at the tissue, organ or system level. Gene databases arrays and apparatus useful for identifying hypersensitivity in a subject are also disclosed.

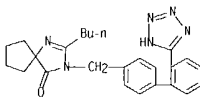
IT 138402-11-6, Irbesartan

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)  
(methods of determining individual hypersensitivity to a pharmaceutical agent from gene expression profile)

RN 138402-11-6 CAPLUS

L5 ANSWER 10 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

CN 1,3-Diazaspiro[4.4]non-1-en-4-one, 2-butyl-3-[[2'-(1H-tetrazol-5-yl)][1,1'-biphenyl]-4-yl]methyl]- (9CI) (CA INDEX NAME)



L5 ANSWER 11 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN  
AN 2001:215635 CAPLUS

Correction of: 1999:495275

DN 134:207817  
Correction of: 131:144601

TI Method for preparing N-substituted heterocyclic derivatives using a phase-transfer catalyst

IN Anderson, Neal G.; Deshpande, Rajendra; Moniot, Jerome L.

PA Bristol-Myers Squibb Company, USA

SO PCT Int. Appl. 18 pp.

COOEN: PIXX02

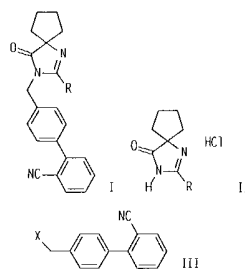
DT Patent

LA English

FAN CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9938847	A1	19990805	WO 1999-US1201	19990120
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, BG, BR, CA, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, ML, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6162922	A	20001219	US 1999-233238	19990119
CA 2318791	AA	19990805	CA 1999-2318791	19990120
AU 9924615	A1	19990816	AU 1999-24615	19990120
AU 743018	B2	2000117		
EP 1060165	A1	20001220	EP 1999-904158	19990120
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2002501946	T2	20020122	JP 2000-530084	19990120
PRAI US 1998-73103P	P	19980130		
WO 1999-US1201	W	19990120		
OS MARPAT 134:207817.				
GI				

L5 ANSWER 11 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

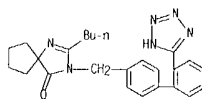


AB Disclosed is a process for preparing N-substituted heterocyclic derivs. [I: R = CH<sub>3</sub>, CH<sub>3</sub>CH<sub>2</sub>, CH<sub>3</sub>CH<sub>2</sub>CH<sub>2</sub>, CH<sub>3</sub>CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>, MeO, EtO, n-PrO] and its salts (HCl, HBr, H<sub>2</sub>SO<sub>4</sub>) using phase transfer catalysis from II (R as above) and III (X = Br, Cl, I). Thus, the title compound I (R = CH<sub>3</sub>CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>), a preferred intermediate for the preparation of Irbesartan, was prepared with 86% yield from II and III (X = Br) in NaOH aqueous solution with toluene at room temperature in the presence of phase-transfer catalyst methyltributylammonium chloride and crystallized from Me tert-Bu ether. This method of short duration requires no chromatog. separation of product, and no NaH, NaOMe, or KOMe is used as in other methods.

IT 138402-11-6P, Irbesartan  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of diazaspirononylmethylbiphenylcarbonitrile precursor by phase transfer catalysis)

RN 138402-11-6 CAPLUS

CN 1,3-Diazaspiro[4.4]non-1-en-4-one, 2-butyl-3-[[2'-(1H-tetrazol-5-yl)][1,1'-biphenyl]-4-yl]methyl]-, (9CI) (CA INDEX NAME)



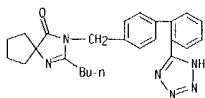
L5 ANSWER 11 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

IT 329055-23-4P 329055-24-5P 329055-25-6P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of oxodiazaspiro[4.4]non-1-en-4-one, 2-butyl-3-[[2'-(1H-tetrazol-5-yl)][1,1'-biphenyl]-4-yl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME))

RN 329055-23-4 CAPLUS

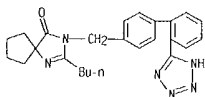
CN 1,3-Diazaspiro[4.4]non-1-en-4-one, 2-butyl-3-[[2'-(1H-tetrazol-5-yl)][1,1'-biphenyl]-4-yl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 329055-24-5 CAPLUS

CN 1,3-Diazaspiro[4.4]non-1-en-4-one, 2-butyl-3-[[2'-(1H-tetrazol-5-yl)][1,1'-biphenyl]-4-yl]methyl]-, monohydrobromide (9CI) (CA INDEX NAME)



● HBr

RN 329055-25-6 CAPLUS

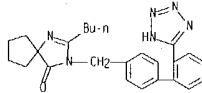
CN 1,3-Diazaspiro[4.4]non-1-en-4-one, 2-butyl-3-[[2'-(1H-tetrazol-5-yl)][1,1'-biphenyl]-4-yl]methyl]-, sulfate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 138402-11-6

CMF C25 H28 N6 O

L5 ANSWER 11 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



CM 2

CRN 7664-93-9

CMF H2 O4 S

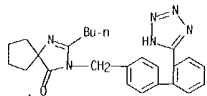


L5 ANSWER 12 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN  
 AN 1999:819366 CAPLUS  
 DN 132:69308  
 TI Novel form of irbesartan, methods for obtaining said form and pharmaceutical compositions containing same  
 IN Franc. Bruno; Hoff, Christian; Kiang, Sam; Lindrud, Mark D.; Monnier, Olivier; Wei, Chenkou  
 PA Sanofi-Synthelabo, Fr.  
 SO PCT Int. Appl., 28 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA French  
 FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9967236	A1	19991229	WO 1999-FR1372	19990610
W: AL. AM. AT. AU. AZ. BA. BB. BG. BR. BY. CA. CH. CN. CU. CZ. DE. DK. EE. ES. FI. GB. GD. GE. GH. GM. HR. HU. ID. IL. IN. IS. JP. KE. KG. KP. KR. KZ. LC. LK. LR. LS. LT. LU. LV. MD. MG. MK. MN. MX. MY. NZ. PL. PT. RD. RU. SD. SE. SG. SI. SK. SL. TJ. TM. TR. TT. UA. UG. US. UZ. VN. YU. ZA. ZW. AM. AZ. BY. KG. KZ. MD. RU. TJ. TM				
RW: GH. GM. KE. LS. MW. SD. SL. SZ. UG. ZW. AT. BE. CH. CY. DE. DK. ES. FI. FR. GB. GR. IE. IT. LU. MC. NL. PT. SE. BF. BJ. CF. CG. CI. CM. GA. GN. GW. ML. MR. NE. NG. TD. TG				
FR 2780403	A1	19991231	FR 1998-8037	19980624
FR 2780403	B3	20000721		
CA 2335545	AA	19991229	CA 1999-2335545	19990610
AU 9940484	A1	20000110	AU 1999-40484	19990610
AU 747887	B2	20020530		
BR 9912198	A	20010410	BR 1999-12198	19990610
EP 1089994	A1	20010411	EP 1999-923712	19990610
R: AT. BE. CH. DE. DK. ES. FR. GB. GR. IT. LI. LU. NL. SE. MC. PT. IE. SI. LT. LV. FI. RO				
TR 200003842	T2	20010621	TR 2000-200003842	19990610
JP 2002518495	T2	20020625	JP 2000-555889	19990610
NZ 508842	A	20020927	NZ 1999-508842	19990610
CN 1127499	B	20031112	CN 1999-807707	19990610
CZ 292868	B6	20031217	CZ 2000-4771	19990610
ZA 2000007588	A	20010611	ZA 2000-7588	20001218
BG 105069	A	20011130	BG 2000-105069	20001219
NO 200006645	A	20010226	NO 2000-6645	20001222
PRAI FR 1998-8037	A	19980624		
WO 1999-FR1372	W	19990610		

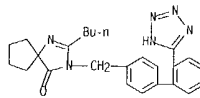
AB The invention concerns irbesartan (I) form A having a modified crystalline habit such that length/width ratio ranges between 1:1 and 10:1, preferably between 1:1 and 5:1 and a method for preparing said crystalline habit. The method is characterized in that it consists in

L5 ANSWER 13 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN  
 AN 1998:755340 CAPLUS  
 DN 130:167981  
 TI A computational approach to intermolecular proton transfer in the solid state: assistance by proton acceptor molecules  
 AU Alkorta, Ibon; Rozas, Isabel; Elguero, Jose  
 CS CSIC, Instituto de Quimica Medica, Madrid, E-28006, Spain  
 SO Journal of the Chemical Society, Perkin Transactions 2: Physical Organic Chemistry (1998), (12), 2671-2676  
 CODEN: JCPKDH; ISSN: 0300-9580  
 PB Royal Society of Chemistry  
 DT Journal  
 LA English  
 AB Ab initio (B3LYP/6-311++G\*\*) calcs. were carried out on the proton transfer of 2H-tetrazole and 5-phenyl-2H-tetrazole with and without the assistance of different N bases (H cyanide, NH3 and imidazole). In the absence of base, the proton transfer barrier amts. to 210 kJ mol<sup>-1</sup> while in the presence of NH3 it is lowered to 119 kJ mol<sup>-1</sup>. Also, the inclusion of a solvent cavity of the Onsager type, which increases the 1st barrier, decreases the 2nd one to 67 kJ mol<sup>-1</sup> (for  $\epsilon = 5$ ) which is consistent with exptl. data for irbesartan (a 5-aryl-2H-tetrazole derivative).  
 IT 138402-11-6, Irbesartan  
 RL: PEP (Physical, engineering or chemical process); RCT (Reactant); PROC (Process); RACT (Reactant or reagent)  
 (2H-tetrazole tautomer; assistance by proton acceptor mols. and d. functional theory calcn. of intermol. proton transfer in solid state)  
 RN 138402-11-6 CAPLUS  
 CN 1,3-Diazaspiro[4.4]non-1-en-4-one, 2-butyl-3-[[2'-(1H-tetrazol-5-yl)][1,1'-biphenyl]-4-yl]methyl]- (9CI) (CA INDEX NAME)



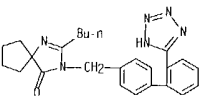
RE.CNT 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 12 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)  
 either subjecting a cryst. suspension of irbesartan form A having acicular habit to at least a temp. oscillating step, or in subjecting a cryst. suspension of irbesartan form A having acicular habit to a mech. shearing. The invention also concerns a pharmaceutical compn. contg. said irbesartan cryst. habit. I (prepn. given) was recrystd. from isopropanol to obtain I form A. A tablet contained 170, microcryst. cellulose 24.75, sodium croscarmellose 3.75, colloidal silica 0.75, and magnesium stearate 0.75.  
 IT 138402-11-6, Irbesartan  
 RL: PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (novel form of irbesartan, methods for obtaining said form and pharmaceutical compns. containing same)  
 RN 138402-11-6 CAPLUS  
 CN 1,3-Diazaspiro[4.4]non-1-en-4-one, 2-butyl-3-[[2'-(1H-tetrazol-5-yl)][1,1'-biphenyl]-4-yl]methyl]- (9CI) (CA INDEX NAME)



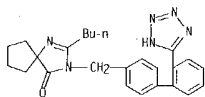
RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 14 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN  
 AN 1998:451409 CAPLUS  
 DN 129:74251  
 TI Irbesartan crystal form B  
 AU Bocskai, Zsolt; Simon, Kalman; Rao, Renee; Caron, Antoine; Rodger, Charles A.; Bauer, Michel  
 CS Dep. Chemical Res., Chinoin Pharmaceuticals, Budapest, 1325, Hung.  
 SO Acta Crystallographica, Section C: Crystal Structure Communications (1998), C54(6), 808-810  
 CODEN: ACSCEE; ISSN: 0108-2701  
 PB Munksgaard International Publishers Ltd.  
 DT Journal  
 LA English  
 AB Irbesartan (2-butyl-3-[[2'-(2H-tetrazol-5-yl)biphenyl]-4-yl]methyl]-1,3-diazaspiro[4.4]non-1-en-4-one, C25H28N6O), a highly selective angiotensin II receptor (AT1) antagonist was found to exist in two distinct crystal forms (A and B). This paper describes the crystal structure of irbesartan form B. Crystallog. data are given.  
 IT 138402-11-6, Irbesartan  
 RL: PRP (Properties)  
 (crystal structure of polymorph B of)  
 RN 138402-11-6 CAPLUS  
 CN 1,3-Diazaspiro[4.4]non-1-en-4-one, 2-butyl-3-[[2'-(1H-tetrazol-5-yl)][1,1'-biphenyl]-4-yl]methyl]- (9CI) (CA INDEX NAME)



RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 15 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN  
 AN 1998:117711 CAPLUS  
 DN 128:229939  
 TI NMR study of desmotropy in Irbesartan, a tetrazole-containing pharmaceutical compound  
 AU Bauer, Michel; Harris, Robin K.; Rao, Renee C.; Apperley, David C.; Rodger, Charles A.  
 CS Sanofi Recherche, International Analytical Department, Toulouse Cedex, 31036, Fr.  
 SO Journal of the Chemical Society, Perkin Transactions 2: Physical Organic Chemistry (1998), (3), 475-482  
 CODEN: JCPKDH; ISSN: 0300-9580  
 PB Royal Society of Chemistry  
 DT Journal  
 LA English  
 AB Irbesartan, a novel anti-hypertensive agent (Angiotensin II antagonist), has been found to exist in two crystal forms. The solution-state structure and the solid-state structure of the two forms, designated Form A and Form B, have been probed using a series of NMR methods and correlated with single-crystal X-ray results for Form B. The prototropic tautomerism generally exhibited by tetrazole ring systems has been probed using solid-state NMR and it is seen that irbesartan offers a rare example of desmotropic behavior, whereby the isolated crystal forms are stable in the solid state yet related through a tautomeric equilibrium in the solution state. Nitrogen-15 solid-state CP/MAS data have been used to understand the structures of the stable irbesartan crystal forms. Form B is shown to undergo an exchange process involving the tetrazole ring. Two-dimensional EXSY 15N spectra are used to understand this process, which involves simultaneous proton-hopping and internal rotation.  
 IT 138402-11-6, Irbesartan  
 RL: PEP (Physical, engineering or chemical process); PRP (Properties); PROC (Process)  
 (NMR study of desmotropy in tetrazole-containing pharmaceutical compound)  
 RN 138402-11-6 CAPLUS  
 CN 1,3-Diazaspiro[4.4]non-1-en-4-one, 2-butyl-3-[[2'-(1H-tetrazol-5-yl)](1.1'-biphenyl)-4-yl]methyl]- (9CI) (CA INDEX NAME)



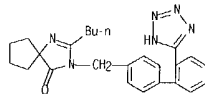
RE.CNT 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD

L5 ANSWER 15 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 16 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN  
 AN 1997:43633 CAPLUS  
 DN 127:55894  
 TI Stable freeze-dried pharmaceutical formulation containing mannitol and alanine  
 IN Bouloumie, Colette; Breul, Thierry; Colliere, Laurence; Faure, Philippe  
 PA Sanofi, Fr.; Bouloumie, Colette; Breul, Thierry; Colliere, Laurence; Faure, Philippe  
 SO PCT int. Appl. 43 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA French  
 FAN.CNT 1  

PATE/IT NO.	KIND	DATE	APPLICATION NO.	DATE
WD 9717064	A1	19970515	WD 1996-FR1706	19961030
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
FR 2740686	A1	19970509	FR 1995-13022	19951103
FR 2740686	B1	19980116		
CA 2234140	AA	19970515	CA 1996-2234140	19961030
AU 9674990	A1	19970529	AU 1996-74990	19961030
AU 713383	B2	19991202		
EP 858325	A1	19980819	EP 1996-937367	19961030
EP 858325	B1	20020731		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI				
CN 1203527	A	19981230	CN 1996-198786	19961030
CN 1124844	B	20031022		
BR 9611367	A	19990223	BR 1996-11367	19961030
JP 11507945	T2	19990713	JP 1996-517912	19961030
CZ 287178	B6	20001011	CZ 1998-1231	19961030
IL 124214	A1	20010128	IL 1996-124214	19961030
RU 2163801	C2	20010310	RU 1998-110638	19961030
AT 221374	E	20020815	AT 1996-937367	19961030
JP 3357376	B2	20021216	JP 1997-517912	19961030
PT 858325	T	20021231	PT 1996-937367	19961030
SK 283031	B6	20030204	SK 1998-525	19961030
ES 2180805	T3	20030216	ES 1996-937367	19961030
PL 186284	B1	20031231	PL 1996-326451	19961030
ZA 9609176	A	19980430	ZA 1996-9176	19961031
TW 442295	B	20010623	TW 1996-85114410	19961122
NO 9801967	A	19980630	NO 1998-1967	19980430
US 6284277	B1	20010904	US 1998-66387	19981209
PRA1 FR 1995-13022	A	19951103		
WD 1996-FR1706	W	19961030		

L5 ANSWER 16 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)  
 AB A pharmaceutically acceptable freeze-dried formulation consisting of an amorphous phase and a crystalline phase and including at least one non-protein active principle is disclosed. The formulation is characterized in that it contains mannitol and alanine in a ratio R of 0.1-1, where R is the weight of mannitol over the weight of alanine. A freeze-dried pharmaceutical contained SR 57746A 0.44, alanine 72.0, mannitol 36.0, citric acid 38.8, and Polysorbate-80 4.0 mg.  
 IT 138402-11-6, Irbesartan  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (stable freeze-dried pharmaceutical formulation containing mannitol and alanine)  
 RN 138402-11-6 CAPLUS  
 CN 1,3-Diazaspiro[4.4]non-1-en-4-one, 2-butyl-3-[[2'-(1H-tetrazol-5-yl)](1.1'-biphenyl)-4-yl]methyl]- (9CI) (CA INDEX NAME)





L5 ANSWER 17 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN  
 AN 1996:379564 CAPLUS

DN 125:58517

TI Preparation and formulation of a new crystalline form of  
 irbesartan

IN Caron, Antoine; Chantreux, Dominique; Bouloumie, Colette  
 PA Sanofi, Fr.

SO Eur. Pat. Appl., 22 pp.

CODEN: EPXXDW

DT Patent

LA French

FAN CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 708103	A1	19960424	EP 1995-402322	19951018
EP 708103	B1	20010103		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
FR 2725987	A1	19960426	FR 1994-12459	19941019
FR 2725987	B1	19970110		
CA 2160725	AA	19960420	CA 1995-2160725	19951017
CA 2160725	C	20011218		
US 5629331	A	19970513	US 1995-544027	19951017
CZ 288629	B6	20010815	CZ 1995-2710	19951017
NO 9504154	A	19960422	NO 1995-4154	19951018
CN 1128261	A	19960807	CN 1995-118711	19951018
CN 1061656	B	20010207		
RU 2144536	C1	20000120	RU 1995-118109	19951018
AT 198478	E	20010115	AT 1995-402322	19951018
ES 2155115	T3	20010501	ES 1995-402322	19951018
PT 708103	T	20010629	PT 1995-402322	19951018
PL 184193	B1	20020930	PL 1995-311012	19951018
FI 9504992	A	19960420	FI 1995-4992	19951019
AU 9534335	A1	19960502	AU 1995-34335	19951019
AU 698041	B2	19981022		
ZA 9508850	A	19960527	ZA 1995-8850	19951019
HU 73179	A2	19960628	HU 1995-3016	19951019
JP 08208642	A2	19960813	JP 1995-271512	19951019
JP 3366786	B2	20030114		
IL 115688	A1	19990922	IL 1995-115688	19951019
HK 1005135	A1	20010817	HK 1998-104339	19980519
CZ 288624	B6	20010815	CZ 2000-2544	20000707
GR 3035503	T3	20010629	GR 2001-400343	20010305
PRAI FR 1994-12459	A	19941019		

AB The title compound, 2-butyl-3-[(2'-tetrazol-5-ylbiphenyl-4-yl)methyl]-1,3-diazaspiro[4.4]non-1-en-4-one, was prepared in a new crystalline form by treating 2-butyl-3-[(2'-cyanobiphenyl-4-yl)methyl]-1,3-diazaspiro[4.4]non-1-en-4-one with an alkaline azide in an aprotic polar solvent, neutralizing the salt in an aqueous medium, and crystallization

L5 ANSWER 17 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)  
 from a water-miscible solvent contg. > .apprx.10vol.% water.

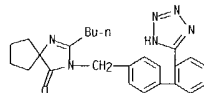
IT 138402-11-6P

RL: IMF (Industrial manufacture); PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)

(preparation and formulation of a new crystalline form of irbesartan)

RN 138402-11-6 CAPLUS

CN 1,3-Diazaspiro[4.4]non-1-en-4-one, 2-butyl-3-[[2'-(1H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]methyl]- (9CI) (CA INDEX NAME)



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